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LOGINID:SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * *

| | |
|----------------|--|
| NEWS 1 | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 OCT 02 | CA/Caplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS 3 OCT 19 | BEILSTEIN updated with new compounds |
| NEWS 4 NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS 5 NOV 19 | WPIX enhanced with XML display format |
| NEWS 6 NOV 30 | ICSD reloaded with enhancements |
| NEWS 7 DEC 04 | LINPADOCDB now available on STN |
| NEWS 8 DEC 14 | BEILSTEIN pricing structure to change |
| NEWS 9 DEC 17 | USPATOLD added to additional database clusters |
| NEWS 10 DEC 17 | IMSDRUGCON removed from database clusters and STN |
| NEWS 11 DEC 17 | DGENE now includes more than 10 million sequences |
| NEWS 12 DEC 17 | TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment |
| NEWS 13 DEC 17 | MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary |
| NEWS 14 DEC 17 | CA/Caplus enhanced with new custom IPC display formats |
| NEWS 15 DEC 17 | STN Viewer enhanced with full-text patent content from USPATOLD |
| NEWS 16 JAN 02 | STN pricing information for 2008 now available |
| NEWS 17 JAN 16 | CAS patent coverage enhanced to include exemplified prophetic substances |
| NEWS 18 JAN 28 | USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats |
| NEWS 19 JAN 28 | MARPAT searching enhanced |
| NEWS 20 JAN 28 | USGENE now provides USPTO sequence data within 3 days of publication |
| NEWS 21 JAN 28 | TOXCENTER enhanced with reloaded MEDLINE segment |
| NEWS 22 JAN 28 | MEDLINE and LMEDLINE reloaded with enhancements |
| NEWS 23 FEB 08 | STN Express, Version 8.3, now available |
| NEWS 24 FEB 20 | PCI now available as a replacement to DPCI |
| NEWS 25 FEB 25 | IFIREF reloaded with enhancements |
| NEWS 26 FEB 25 | IMSPRODUCT reloaded with enhancements |
| NEWS 27 FEB 29 | WPINDEX/WPIIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification |

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

| | |
|------------|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 09:49:07 ON 06 MAR 2008

=> file reg
COST IN U.S. DOLLARS

| | SINCE FILE
ENTRY | TOTAL
SESSION |
|---------------------|---------------------|------------------|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 09:49:23 ON 06 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 MAR 2008 HIGHEST RN 1006657-22-2
DICTIONARY FILE UPDATES: 4 MAR 2008 HIGHEST RN 1006657-22-2

New CAS Information Use Policies. enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stndgen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10537604.str



chain nodes :
 16 17 18 19 24 25 41 42 43 44 45
 ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 26 27 28 29 30 31 32 33
 34 35 36 37 38 39 40
 chain bonds :
 8-10 9-16 16-17 16-24 16-25 17-18 17-19 33-35 34-41 41-42 41-45 42-43
 42-44
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-11 10-15 11-12 12-13 13-14
 14-15 26-27 26-31 27-28 28-29 29-30 30-31 30-32 31-34 32-33 33-34 35-36
 35-40 36-37 37-38 38-39 39-40
 exact/norm bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 9-16 16-17 16-24 16-25
 17-18 17-19 26-27 26-31 27-28 28-29 29-30 30-31 30-32 31-34 32-33 33-34
 33-35 34-41 41-42 41-45 42-43 42-44
 normalized bonds :
 10-11 10-15 11-12 12-13 13-14 14-15 35-36 35-40 36-37 37-38 38-39 39-40
 isolated ring systems :
 containing 1 : 10 :

G1:C,O,N

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
 24:CLASS 25:CLASS 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom
 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:CLASS
 42:CLASS 43:CLASS 44:CLASS 45:CLASS

fragments assigned product role:
containing 1
fragments assigned reactant/reagent role:
containing 26

L1 STRUCTURE UPLOADED

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=> d 11  
L1 HAS NO ANSWERS  
L1 STR
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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=> file casreact
COST IN U.S. DOLLARS                               SINCE FILE      TOTAL
                                                    ENTRY        SESSION
FULL ESTIMATED COST                           0.92          1.13
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FILE 'CASREACT' ENTERED AT 09:50:20 ON 06 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 2 Mar 2008 VOL 148 ISS 10

New CAS Information Use Policies. enter HELP USAGETERMS for details.

```
*****
*          CASREACT now has more than 13.8 million reactions
******

```

Some CASREACT records are derived from the ZIC/VINITI database (1974-1999) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 11 full
FULL SEARCH INITIATED 09:50:27 FILE 'CASREACT'
SCREENING COMPLETE -      34 REACTIONS TO VERIFY FROM      9 DOCUMENTS

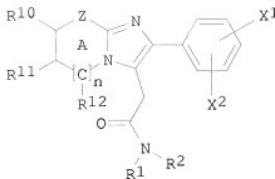
100.0% DONE      34 VERIFIED      0 HIT BYNS      5 POSS
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L3 5. GES, GGC, EHU, L3, 4 8. REACTIONS)

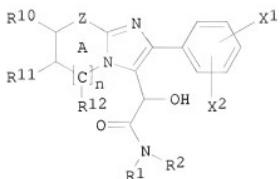
\Rightarrow d'abord abs finit tout

L2 ANSWER 1 OF 5 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 144:331433 CASREACT
 TITLE: Synthesis of heteroaryl acetamides from reaction mixtures of heteroaryl α -hydroxyacetamides having reduced water content
 INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.; Moser, Frank W.; Halvachs, Robert E.
 PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------|------------|------------------|----------|
| WO 2006007289 | A1 | 20060119 | WO 2005-US19810 | 20050603 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005262622 | A1 | 20060119 | AU 2005-262622 | 20050603 |
| CA 2571491 | A1 | 20060119 | CA 2005-2571491 | 20050603 |
| CN 1972939 | A | 20070530 | CN 2005-80020732 | 20050603 |
| EP 1809627 | A1 | 20070725 | EP 2005-756522 | 20050603 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| JP 2008503578 | T | 20080207 | JP 2007-518091 | 20050603 |
| US 2007213537 | A1 | 20070913 | US 2006-594486 | 20060927 |
| IN 2006CN04715 | A | 20070629 | IN 2006-CN4715 | 20061222 |
| PRIORITY APPLN. INFO.: | | | US 2004-581967P | 20040622 |
| OTHER SOURCE(S): | MARPAT | 144:331433 | WO 2005-US19810 | 20050603 |
| GI | | | | |



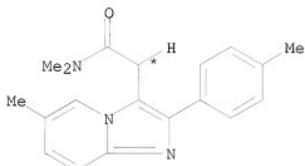
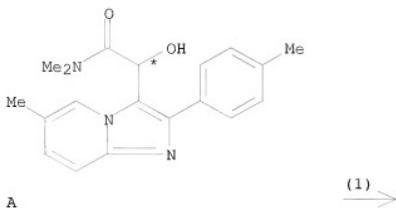
I



II

AB An improved process for the preparation of a heteroaryl acetamide (I) [Z = O, NR20 or CR21; X1, X2 = H, halogen, Cl-4 alkoxy, Cl-6 alkyl, CF3, MeSO2; R1, R2 = H, hydrocarbyl; R10 = H, halogen, Cl-4 alkyl, a fused ring such as (i) a (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-NR20 or (ii) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R10)-C(R11); R11 = H, halogen, Cl-4 alkyl, or a fused ring such as (i) a (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R11) or (ii) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R12 (if present) = H, halogen, Cl-4 alkyl, or a fused ring such as (i) an (un)substituted six-membered, aromatic, carbocyclic ring fused to the A ring comprising C(R11)-C(R12); R20 = Cl-5 alkyl or a fused ring such as an (un)substituted, (un)saturated, five or six-membered, heterocyclic or carbocyclic ring fused to the A ring comprising C(R10)-N(R20); R21 = H, halogen, Cl-4 alkyl; n = 0-1; when Z is CR21, the A ring is aromatic] from a heteroaryl α-hydroxyacetamide (II) is provided. The process comprises directly hydrogenating the heteroaryl α-hydroxyacetamide II in the presence of a strong acid, a halide and a catalyst wherein the molar ratio of the starting heteroaryl α-hydroxyacetamide II to water at the initiation of hydrogenolysis is at least about 2:1. In one embodiment, the heteroaryl acetamide is zolpidem and the heteroaryl α-hydroxyacetamide is α-hydroxyzolpidem. Thus, α-hydroxyzolpidem (1.35 kg), acetic acid (1.42 kg), 5% Pd-C (38.6 g), and NaBr solution (6.6 mL) were combined in a glass reactor and the reactor was closed. Concentrated H2SO4 (0.625 kg) and acetic anhydride (0.31 kg) were added to the reactor with cooling to maintain the reaction temperature below 70° and then the reactor was purged with nitrogen and pressurized with hydrogen gas to 30 psig. The reaction mixture was heated at 80-85° while maintaining the hydrogen pressure at 30 psig until the hydrogen uptake stopped, and cooled to 20-30°, and filtered to remove the catalyst, followed by washing the filtered catalyst with 1 L water and the wash water was added to the filtrate to give, after adding 3 L water and 3.15 kg iso-Pr alc. and then ammonium hydroxide (approx. 4.15 kg), cooling for crystallization, filtration, and drying, 1 kg zolpidem.

RX(1) OF 7 A ==> B



B
YIELD 97%

RX(1) RCT A 118026-14-5
 RGT C 7664-93-9 H₂SO₄, D 7647-15-6 NaBr, E 1333-74-0 H₂
 PRO B 82626-48-0
 CAT 7440-05-3D Pd
 SOL 7732-18-5 Water, 64-19-7 AcOH
 CON SUBSTAGE(1) room temperature, 25 psi
 SUBSTAGE(2) room temperature -> 70 deg C, 25 psi -> 35 psi
 SUBSTAGE(3) 6 hours, 70 deg C, 35 psi
 NTE optimization study
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L2 ANSWER 2 OF 5 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 141:123627 CASREACT

TITLE: Improved process for the synthesis of heteroaryl acetamides, in particular zolpidem, by hydrogenation of α -hydroxyacetamides

INVENTOR(S): Jarvi, Esa T.; Miller, Douglas C.

PATENT ASSIGNEE(S): Mallinckrodt Inc., USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

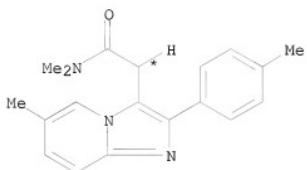
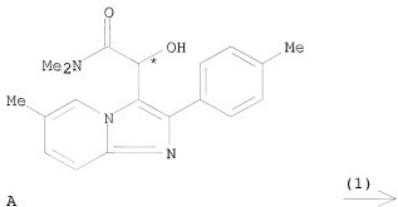
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| WO 2004058758 | A1 | 20040715 | WO 2003-US39951 | 20031216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2509561 | A1 | 20040715 | CA 2003-2509561 | 20031216 |
| AU 2003297153 | A1 | 20040722 | AU 2003-297153 | 20031216 |
| EP 1575952 | A1 | 20050921 | EP 2003-814010 | 20031216 |
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| CN 1729188 | A | 20060201 | CN 2003-80106954 | 20031216 |
| JP 2006516139 | T | 20060622 | JP 2004-563575 | 20031216 |
| US 2006025588 | A1 | 20060202 | US 2005-537604 | 20050603 |
| MX 2005PA06438 | A | 20050908 | MX 2005-PA6438 | 20050615 |
| IN 2005CN01264 | A | 20070622 | IN 2005-CN1264 | 20050615 |
| PRIORITY APPLN. INFO.: | | | US 2002-435763P | 20021218 |
| | | | WO 2003-US39951 | 20031216 |

OTHER SOURCE(S): MARPAT 141:123627
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is directed to an improved process for the preparation of heteroaryl acetamides I, in particular zolpidem (II), in one step, by hydrogenation of the corresponding α -hydroxyacetamides in the presence of a strong acid, a halide, and a Pd-based catalyst [wherein Z = O, NR20, CH and derivs.; X1, X2 = independently H, halo, alkoxy, alkyl, CF3, CH3SO2; R1, R2 = independently H, hydrocarbyl; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl, etc.; R5 = H, halo, alkyl, etc.; W = (C)n; n = 0-1; when Z = CH and derivs., A is aromatic]. Thus, α -hydroxy-II was hydrogenated in the presence of a solution of H2SO4 in glacial AcOH, 1.4M NaBr in water, and 5% Pd/BaSO4 at 30-35 psi and 70° for 17 h to give zolpidem in 92 yield and 98.4% purity. Similarly, α -hydroxy-II O-acetate gave II in 86% yield and 74.4% purity, which was recrystd. from i-PrOH.

RX(1) OF 3 A ==> B



B
YIELD 97%

RX(1) RCT A 118026-14-5

STAGE(1)

RGT C 1333-74-0 H₂, D 7647-15-6 NaBr, E 7664-93-9 H₂SO₄, F 64-19-7 AcOH
CAT 7440-05-3 Pd, 7727-43-7 BaSO₄
SOL 7732-18-5 Water
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) room temperature
SUBSTAGE(3) room temperature -> 70 deg C, 25 psi
SUBSTAGE(4) 6 hours, 70 deg C, 35 psi
SUBSTAGE(5) 70 deg C -> 40 deg C

STAGE(2)

SOL 7732-18-5 Water

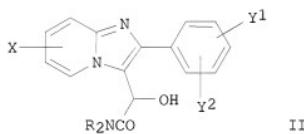
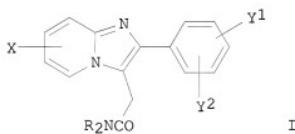
PRO B 82626-48-0

NTE optimization study, solid supported catalyst

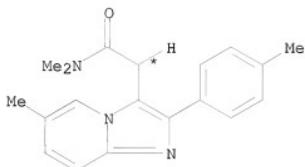
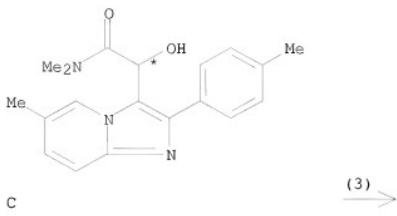
L2 ANSWER 3 OF 5 CASREACT COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 140:94046 CASREACT
 TITLE: Process for the preparation imidazo[1,2-a]pyridine-3-acetamides
 INVENTOR(S): Schloemer, George C.
 PATENT ASSIGNEE(S): Scinopharm Taiwan, Ltd., USA
 SOURCE: U.S. Pat. Appl. Publ., 4 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 2004010146 | A1 | 20040115 | US 2003-620209 | 20030714 |
| US 6861525 | B2 | 20050301 | | |
| WO 2004007496 | A1 | 20040122 | WO 2003-US22082 | 20030714 |
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LU, MC, NL, PT, RO, SE, SI, SK, TR | | | | |
| AU 2003249262 | A1 | 20040202 | AU 2003-249262 | 20030714 |
| EP 1539751 | A1 | 20050615 | EP 2003-764677 | 20030714 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1668617 | A | 20050914 | CN 2003-816832 | 20030714 |
| JP 2005538980 | T | 20051222 | JP 2004-521845 | 20030714 |
| PRIORITY APPLN. INFO.: | | | US 2002-396278P | 20020715 |
| | | | WO 2003-US22082 | 20030714 |

OTHER SOURCE(S): MARPAT 140:94046
 GI



AB Imidazo[1,2-a]pyridine-3-N,N-dialkylacetamides [I; R = C1-4 alkyl; X, Y1, Y2 = H, C1-4 alkyl; e.g., 6-Methyl-N,N-dimethyl-2-(4-methylphenyl)imidazo[1,2-a]pyridine-3-acetamide] are prepared by the reaction of imidazo[1,2-a]pyridines [II; e.g., 6-methyl-N,N-dimethyl-2-(4-methylphenyl)- α -hydroxyimidazo[1,2-a]pyridine-3-acetamide] with PBr3 in a non-reactive solvent (e.g., 1,2-dichloroethane) to give an intermediate which is subjected to hydrolysis.



E
YIELD 74%

RX(3) RCT C 118026-14-5
RGT F 7789-60-8 PBr3
PRO E 82626-48-0
SOL 107-06-2 C1CH2CH2C1
CON SUBSTAGE(1) room temperature
SUBSTAGE(2) 2 hours, reflux
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L2 ANSWER 4 OF 5 CASREACT COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 111:115178 CASREACT

TITLE: Imidazopyridine derivatives useful as sedatives, anxiolytics, and anticonvulsants, their preparation, and medicaments and compositions containing them

INVENTOR(S): George, Pascal; Allen, John; Jaurand, Guy

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Fr. Demande, 13 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

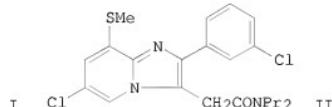
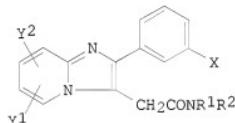
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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|---|------|----------|-----------------|----------|
| FR 2612927 | A1 | 19880930 | FR 1987-4276 | 19870327 |
| FR 2612927 | B1 | 19890609 | | |
| EP 289371 | A1 | 19881102 | EP 1988-400666 | 19880321 |
| EP 289371 | B1 | 19910925 | | |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AT 67765 | T | 19911015 | AT 1988-400666 | 19880321 |
| ES 2026666 | T3 | 19920501 | ES 1988-400666 | 19880321 |
| IL 85840 | A | 19920329 | IL 1988-85840 | 19880323 |
| DK 8801673 | A | 19880928 | DK 1988-1673 | 19880325 |
| FI 8801434 | A | 19880928 | FI 1988-1434 | 19880325 |
| NO 8801333 | A | 19880928 | NO 1988-1333 | 19880325 |
| AU 8813736 | A | 19880929 | AU 1988-13736 | 19880325 |
| AU 597809 | B2 | 19900607 | | |
| JP 63258475 | A | 19881025 | JP 1988-73036 | 19880325 |
| JP 2733492 | B2 | 19980330 | | |
| HU 46692 | A2 | 19881128 | HU 1988-1526 | 19880325 |
| HU 198048 | B | 19890728 | | |
| ZA 8802163 | A | 19881130 | ZA 1988-2163 | 19880325 |
| CA 1324139 | C | 19931109 | CA 1988-562556 | 19880325 |
| US 4847263 | A | 19890711 | US 1988-173813 | 19880328 |
| PRIORITY APPLN. INFO.: | | | FR 1987-4276 | 19870327 |
| | | | FR 1987-4277 | 19870327 |
| | | | EP 1988-400666 | 19880321 |

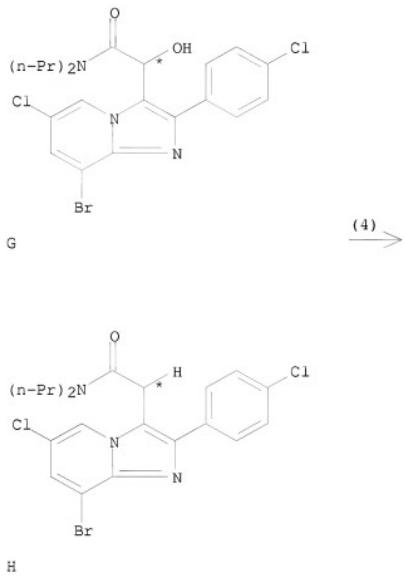
OTHER SOURCE(S): MARPAT 111:115178
GI



AB Imidazopyridine I [Y1 = H, halo, Cl-4 alkyl; Y2 = SR where R = H, Cl-4 alkyl; X = H, halo, Cl-4 alkyl or alkoxy, CF₃, MeS, NO₂, NH₂; R₁, R₂ = H, alkyl (un)substituted by halo, hydroxy, or alkoxy; or NR₁R₂ = C₃-6 heterocyclyl; or R₁R₂ = (CH₂)₂(CH₂)₂ where X = O, S, NR₃; R₃ = H, Cl-4 alkyl, Ph] are prepared as sedatives, anxiolytics, and anticonvulsants. Bromination of 2-amino-5-chloropyridine with Br in CH₂Cl₂ gave the 3-bromo compds., which underwent cyclocondensation with 4-ClC₆H₄COCH₂Br in EtOH containing NaHCO₃ to give 8-bromo-6-chloro-2-(4-chlorophenyl)imidazo[1,2-*a*]pyridine. Treatment of the latter with (EtO)₂CHCONPr₂ in AcOH containing

HCl gave the 3-CH(OH)CONPr₂ derivative, which reacted 1st with SOC₁₂ and then with Rongalite to give the 3-CH₂CONPr₂ derivative. Displacement of Br by MeSNa in THF/DMF gave chloro(chlorophenyl)methylthioldipropylimidazopyridineaceta
mide II. The ED₅₀ of I for protection of mice from pentetetrazole-induced (i.v., 35 mg/kg) clonic convulsions was 0.1–10 mg/kg, i.p.

RX(4) OF 15 . . . G ==> H . . .



RX(4) RCT G 122328-23-8
PRO H 122341-79-1

L2 ANSWER 5 OF 5 CASREACT COPYRIGHT 2008 ACS on STN

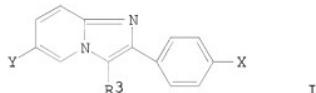
ACCESSION NUMBER: 109:149531 CASREACT
TITLE: Preparation of imidazopyridineacetamides as sedatives
and hypnotics and as anticonvulsants
INVENTOR(S): George, Pascal; Allen, John
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Eur. Pat. Appl., 12 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| EP 267111 | A1 | 19880511 | EP 1987-402463 | 19871102 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| FR 2606410 | A1 | 19880513 | FR 1986-15533 | 19861107 |
| FR 2606410 | B1 | 19890224 | | |
| US 4808594 | A | 19890228 | US 1987-116217 | 19871103 |
| JP 63135382 | A | 19880607 | JP 1987-281925 | 19871106 |

PRIORITY APPLN. INFO.:

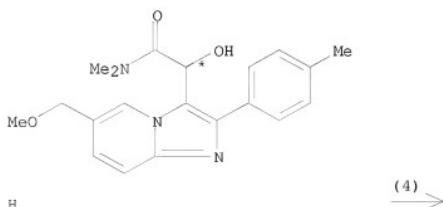
OTHER SOURCE(S): MARPAT 109:149531

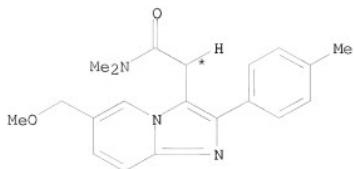
GI



AB The title compds. (I; R3 = CH2CONR1R2; R1, R2 = C1-3 alkyl; X = Me and Y = CH2OR or X = CH2OR and Y = Me; R = C1-6 alkyl) were prepared I (R3 = H, X = Me, Y = CO2Et) was stirred 0.5 h at 0° with LiAlH4 in THF and the product stirred 40 min with NaH and MeI in THF-DMF to give I (R3 = H, X = Me, Y = CH2OMe) which was stirred 2 h at 50° with Me2NCOCHO in HOAc containing NaOAc to give I [R3 = CH(OH)CONMe2, X = Me, Y = CH2OMe]. The latter was stirred 20 h with SOC12 in CH2Cl2 and the product stirred 3 h with HOCH2SO2Na in CH2Cl2 to give I (R3 = CH2CONMe2, X = Me, Y = CH2OMe). I protect 50% of mice given pentetrazol i.v. from convulsions at 0.1-10 mg/kg i.p.

RX(4) OF 7 ...H ==> I





I

RX(4) RCT H 116494-83-8
RGT J 7719-09-7 SOC12
PRO I 116494-84-9
CAT 149-44-0 HOCH₂SO₂Na

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 143.26 | 144.39 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -3.75 | -3.75 |

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